

**What is claimed is:**

1. A targeted glycoconjugate comprising a bioactive agent and a targeting compound, wherein the bioactive agent and targeting compound are joined by a modified saccharide compound.
2. The glycoconjugate of claim 1, wherein the bioactive agent comprises a polypeptide; releasing factor; releasing factor inhibitor; carbohydrate; nucleic acid; vaccine; anti-antibiotic; antiviral agent; anti-fungal agent; analgesics anesthetic; anti-helminthic; anti-arthritis agent; anti-asthmatic agent; anticonvulsant; antidepressant; anti-diabetic agent; anti-diarrheal; anticonvulsant; antihistamine; anti-inflammatory agent; toxin, anti-migraine preparation; anti-nauseant; anticancer agent; anti-parkinsonism drug; anti-pruritic; anti-psychotic; antipyretic; anti-spasmodic; anti-cholinergic; sympathomimetic; xanthine derivative; cardiovascular agent; anti-arrhythmic; anti-hyperlipidemic agent; anti-hypertensive; diuretic; anti-diuretic; receptor agonist; receptor antagonist; vasodilator; central nervous system stimulant; vasoconstrictor; cough and cold preparation; enzyme inhibitor; hormone; hypnotic; hormonolytic; immunosuppressive agent; muscle relaxant; parasympatholytic; central nervous system stimulant; diuretic; hypnoticsleukotriene inhibitor; mitotic inhibitor; muscle relaxant; genetic material; psychostimulant; sedative; anabolic agent; vitamin; herbal remedy; anti-metabolic agent; anxiolytic; attention deficit disorder (ADD) drug; attention deficit hyperactivity disorder (ADHD) drug; neuroleptic agent; or tranquilizer.
3. The glycoconjugate of claim 1, wherein the targeting compound comprises a glycoprotein, glycolipid or carbohydrate.
4. The glycoconjugate of claim 1, wherein the targeting compound comprises GlcNAc.
5. The glycoconjugate of claim 1, wherein the targeting compound is a receptor ligand or an antibody.

6. The glycoconjugate of claim 5, wherein the antibody is a polyclonal antibody.
7. The glycoconjugate of claim 5, wherein the antibody is a monoclonal antibody.
8. The glycoconjugate of claim 1, wherein the modified saccharide compound comprises galactose, glucose (Glc), D-deoxy-Glc, arabinose, GalNAc or GlcNAc.
9. The glycoconjugate of claim 8, wherein the modified saccharide compound further comprises a reactive functional group.
10. The glycoconjugate of claim 9, wherein the reactive functional group comprises an amino, hydroxy, carboxyl, thiol, phosphate, phosphinate, ketone, sulfate or sulfinate group.
11. The glycoconjugate of claim 9, wherein the reactive functional group is attached to the C2 position of the saccharide ring.
12. The glycoconjugate of claim 1, wherein the modified saccharide is galactose with a ketone moiety attached at the C2 position of the galactose ring.
13. A method for the treatment or detection of a disease or disorder comprising, administering to a subject in need thereof an effective amount of the glycoconjugate of claim 1.
14. A method of delivering one or more bioactive agents comprising administering to a subject the glycoconjugate of claim 1.

15. A method of vaccinating a subject against a disease comprising administering to the subject an immunologically effective amount of the glycoconjugate of claim 1.
16. The method of any one of claims 13, 14 or 15, wherein the bioactive agent comprises a polypeptide; releasing factor; releasing factor inhibitor; carbohydrate; nucleic acid; vaccine; anti-antibiotic; antiviral agent; anti-fungal agent; analgesics anesthetic; anti-helminthic; anti-arthritis agent; anti-asthmatic agent; anticonvulsant; antidepressant; anti-diabetic agent; anti-diarrheal; anticonvulsant; antihistamine; anti-inflammatory agent; toxin, anti-migraine preparation; anti-nauseant; anticancer agent; anti-parkinsonism drug; anti-pruritic; anti-psychotic; antipyretic; anti-spasmodic; anti-cholinergic; sympathomimetic; xanthine derivative; cardiovascular agent; anti-arrhythmic; anti-hyperlipidemic agent; anti-hypertensive; diuretic; anti-diuretic; receptor agonist; receptor antagonist; vasodilator; central nervous system stimulant; vasoconstrictor; cough and cold preparation; enzyme inhibitor; hormone; hypnotic; hormonolytic; immunosuppressive agent; muscle relaxant; parasympatholytic; central nervous system stimulant; diuretic; hypnoticsleukotriene inhibitor; mitotic inhibitor; muscle relaxant; genetic material; psychostimulant; sedative; anabolic agent; vitamin; herbal remedy; anti-metabolic agent; anxiolytic; attention deficit disorder (ADD) drug; attention deficit hyperactivity disorder (ADHD) drug; neuroleptic agent; or tranquilizers.
17. The method of any one of claims 13, 14 or 15, wherein the targeting compound comprises a glycoprotein, glycolipid or carbohydrate.
18. The method of any one of claims 13, 14 or 15, wherein the targeting compound comprises GlcNAc.
19. The method of any one of claims 13, 14 or 15, wherein the targeting compound is a receptor ligand or an antibody.
20. The method of claim 19, wherein the antibody is a polyclonal antibody.

21. The method of claim 19, wherein the antibody is a monoclonal antibody.
22. The method of any one of claims 13, 14 or 15, wherein the modified saccharide compound comprises galactose, glucose (Glc), D-deoxy-Glc, arabinose, GalNAc or GlcNAc.
23. The method of any one of claims 13, 14 or 15, wherein the modified saccharide compound comprises a reactive functional group.
24. The method of claim 23, wherein the functional group comprises an amino, hydroxy, carboxyl, thiol, phosphate, phosphinate, ketone, sulfate or sulfinic group.
25. The method of claim 23, wherein the functional group is attached to the C2 position of the saccharide ring.
26. The method of any one of claims 13, 14 or 15, wherein the modified saccharide is galactose with a ketone moiety attached at the C2 position of the galactose ring.
27. The method of any one of claims 13, 14 or 15, wherein the disease or disorder comprises cancer; inflammatory disease or disorder; a hyperproliferative disorder; hormone deficiency disease; hormone abnormality due to hypersecretion; infectious disease; bacterial infection; viral infection; fungal infection; parasitic infection; cardiovascular disease or disorders; genetic disease; autoimmune disease; allergic reaction or conditions; organ rejection or graft-versus-host disease; and/or immune deficiency disease.
28. The method of any one of claims 13, 14 or 15, wherein the subject is a mammal.
29. The method of claim 28, wherein the mammal is a human.

30. A method to synthesize the glycoconjugate of claim 1 comprising:  
(a) incubating a reaction mixture comprising a  $\beta(1,4)$ -galactosyltransferase I or a mutant thereof with a targeting compound and a donor molecule comprising a modified saccharide residue so as to form a targeting-modified saccharide compound; and  
(b) incubating the targeting-modified saccharide compound formed in (a) and a bioactive agent under conditions effective to generate a covalent bond between the modified saccharide and the bioactive agent.
31. A method to synthesize the glycoconjugate of claim 1 comprising:  
(a) incubating a reaction mixture of a donor molecule comprising a modified saccharide residue and a bioactive active agent under conditions effective to generate a covalent bond between the modified saccharide and the bioactive agent; and  
(b) incubating a reaction mixture comprising a  $\beta(1,4)$ -galactosyltransferase I or a mutant thereof with the modified saccharide-bioactive agent compound formed in (a) with a targeting compound so as to form the glycoconjugate.
32. The method of claim 30 or 31, wherein the modified saccharide compound comprises galactose, glucose (Glc), D-deoxy-Glc, or arabinose.
33. The method of claim 30 or 31, wherein the modified saccharide compound comprises a reactive functional group.
34. The method of claim 33, wherein the functional group comprises an amino, hydroxy, carboxyl, thiol, phosphate, phosphinate, ketone, sulfate or sulfinate group.
35. The method of claim 33, wherein the functional group is attached to the C2 position of the saccharide ring.

36. The method of claim 30 or 31, wherein the modified saccharide is a galactose residue with a ketone moiety attached at the C2 position of the galactose ring.
37. The method of claim 30 or 31, wherein the targeting compound comprises a glycoprotein, glycolipid or carbohydrate.
38. The method of claim 30 or 31, wherein the targeting compound comprises GlcNAc.
39. The method of claim 30 or 31, wherein the targeting compound is a receptor ligand or an antibody.
40. The method of claim 39, wherein the antibody is a polyclonal antibody.
41. The method of claim 39, wherein the antibody is a monoclonal antibody.
42. The method of claim 30 or 31, wherein the bioactive agent comprises a polypeptide; releasing factor; releasing factor inhibitor; carbohydrate; nucleic acid; vaccine; anti-antibiotic; antiviral agent; anti-fungal agent; analgesics anesthetic; anti-helminthic; anti-arthritis agent; anti-asthmatic agent; anticonvulsant; antidepressant; anti-diabetic agent; anti-diarrheal; anticonvulsant; antihistamine; anti-inflammatory agent; toxin, anti-migraine preparation; anti-nauseant; anticancer agent; anti-parkinsonism drug; anti-pruritic; anti-psychotic; antipyretic; anti-spasmodic; anti-cholinergic; sympathomimetic; xanthine derivative; cardiovascular agent; anti-arrhythmic; anti-hyperlipidemic agent; anti-hypertensive; diuretic; anti-diuretic; receptor agonist; receptor antagonist; vasodilator; central nervous system stimulant; vasoconstrictor; cough and cold preparation; enzyme inhibitor; hormone; hypnotic; hormonolytic; immunosuppressive agent; muscle relaxant; parasympatholytic; central nervous system stimulant; diuretic; hypnoticsleukotriene inhibitor; mitotic inhibitor; muscle relaxant; genetic material; psychostimulant; sedative; anabolic agent; vitamin; herbal remedy; anti-metabolic agent; anxiolytic; attention

deficit disorder (ADD) drug; attention deficit hyperactivity disorder (ADHD) drug; neuroleptic agent; or tranquilizers.

43. A pharmaceutical composition comprising the glycoconjugate of claim 1 and a pharmaceutically acceptable carrier.
44. A kit comprising the glycoconjugate of claim 1 or the pharmaceutical composition of claim 43 and instructions for use in a therapeutic or diagnostic method.
45. A glycoconjugate according to claim 1 for use in medical therapy.
46. The use of claim 45, wherein the medical therapy is treating cancer; inflammatory disease or disorder; a hyperproliferative disorder; hormone deficiency disease; hormone abnormality due to hypersecretion; infectious disease; bacterial infection; viral infection; fungal infection; parasitic infection; cardiovascular disease or disorders; genetic disease; autoimmune disease; allergic reaction or conditions; organ rejection or graft-versus-host disease; and/or immune deficiency disease.
47. Use of a glycoconjugate of claim 1 to prepare a medicament for treatment of cancer; inflammatory disease or disorder; a hyperproliferative disorder; hormone deficiency disease; hormone abnormality due to hypersecretion; infectious disease; bacterial infection; viral infection; fungal infection; parasitic infection; cardiovascular disease or disorders; genetic disease; autoimmune disease; allergic reaction or conditions; organ rejection or graft-versus-host disease; and/or immune deficiency disease.
48. The use of claim 47, wherein the medicament includes a physiologically acceptable carrier.